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STRUCTURE FILE UPDATES: 17 JUL 2003 HIGHEST RN 550297-38-6 DICTIONARY FILE UPDATES: 17 JUL 2003 HIGHEST RN 550297-38-6

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

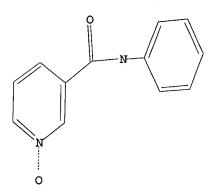
Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File; for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 10015861n.str

L5 STRUCTURE UPLOADED

=> d 15 L5 HAS NO ANSWERS L5 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 15
SAMPLE SEARCH INITIATED 14:28:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS SEARCH TIME: 00.00.01

16 ANSWERS

Print selected from Online session14:31Page 4

result in loss of user privileges and other penalties.

FILE 'HOME' ENTERED AT 14:26:45 ON 18 JUL 2003

=> file registry
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 14:26:57 ON 18 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2003 American Chemical Society (ACS)

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

Uploading 10015861n.str

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

Structure attributes must be viewed using STN Express query preparation.

Print selected from Online session14:31Page 2

=> s l1

SAMPLE SEARCH INITIATED 14:27:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 27 TO ITERATE

100.0% PROCESSED 27 ITERATIONS

16 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS:

229 TO 851

PROJECTED ANSWERS:

80 TO 560

L2

16 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 14:27:21 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 586 TO ITERATE

100.0% PROCESSED 586 ITERATIONS

346 ANSWERS

148.36

SEARCH TIME: 00.00.01

L3 346 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL

148.15

ENTRY SESSION

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 14:27:24 ON 18 JUL 2003 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 18 Jul 2003 VOL 139 ISS 4 FILE LAST UPDATED: 17 Jul 2003 (20030717/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 41 L3

=> file registry

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

- TI Preparation and effect of coumarone analogues as antitumor agents IN Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Kurakata, Shinichi PA Sankyo Company, Limited, Japan SO PCT Int. Appl., 238 pp.

 CODEN: PIXXD2

 DT Patent

 LA Japanese

 FAN.CNT 1
- PATENT NO. KIND DATE APPLICATION NO. DATE ---------------PΙ WO 2001005780 20010125 A1 WO 2000-JP4732 20000714 W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE JP 2001089468 20010403 A2 JP 2000-213985 20000714
- PRAI JP 1999-203159 A 19990716
- OS MARPAT 134:131426
- IT 321919-51-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. and effect of coumarone analogs as antitumor agents)

- RN 321919-51-1 CAPLUS
- CN 3-Pyridinecarboxamide, N-[4-[[6-(acetyloxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-, 1-oxide (9CI) (CAINDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Aco} \\ \text{Me} \end{array}$$

RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 9 OF 39 CAPLUS COPYRIGHT 2003 ACS

$$C1$$
 $CO-NEt_2$
 H
 $CO-N$
 CF_2-CF_3
 Me

AB The title compds. I [R1, R2 and R3 represent each H, optionally halogenated C3-6 cycloalkyl, etc.; Het represents a 5- or 6-membered heterocycle; X and Y represent each halocyano, nitro, optionally halogenated C3-6 cycloalkyl, optionally substituted Ph, an optionally substituted heterocycle, etc; n is from 0 to 3; m is from 1 to 5; Z1 and Z2 represent each O or S; and B1 to B4 represent each C or N] are prepd. I have an excellent controlling effect on pest insects such as diamond-back moth (Plutella xylostella) and tobacco cutworm (Spodoptera litura). The title compd. II at 500 ppm gave .gtoreq. 90% control of Plutella xylostella.

AN 2001:12413 CAPLUS

DN 134:71497

TI Preparation of heterocyclic dicarboxylic acid diamide derivatives as agricultural and horticultural insecticides

IN Katsuhira, Takeshi; Furuya, Takashi; Gotoh, Makoto; Tohnishi, Masanori; Takaishi, Hideo; Sakata, Kazuyuki; Morimoto, Masayuki; Seo, Akira

PA Nihon Nohyaku Co., Ltd., Japan

SO PCT Int. Appl., 160 pp. CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 1

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PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                     _ _ _ _
                           -----
ΡĮ
    WO 2001000575
                     A1
                           20010104
                                          WO 2000-JP4136
                                                            20000623
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    BR 2000011818
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                                                           20000623
    EP 1188745
                      A1
                           20020320
                                         EP 2000-940823
                                                           20000623
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
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Preparation of heterocyclic anilides as herbicides Akiyama, Shigeaki; Kondo, Yasuo; Adachi, Michiaki; Mizukoshi, Takashi; IN Watanabe, Shigeomi; Akiyoshi, Chiaki; Ohki, Tooru; Nakahira, Kunimitsu PA Nissan Chemical Industries, Ltd., Japan SO PCT Int. Appl., 256 pp. CODEN: PIXXD2 DT Patent LA Japanese FAN.CNT 1 PATENT NO. KIND DATE APPLICATION NO. ----------PΙ WO 9944992 A1 19990910 WO 1999-JP1048 19990304 W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9927458 **A1** 19990920 AU 1999-27458 19990304 PRAI JP 1998-53485 19980305 JP 1998-165661 19980612 JP 1998-268025 19980922 WO 1999-JP1048 19990304 MARPAT 131:199705 IT 241469-84-1P RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of heterocyclic anilides as herbicides) 241469-84-1 CAPLUS RN 3,4-Pyridinedicarboxamide, N3-(3-chloro-2,6-diethylphenyl)-N4-(2-CN methylpropyl)-, 1-oxide (9CI) (CA INDEX NAME)

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD RE.CNT 8 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8ANSWER 14 OF 39 CAPLUS COPYRIGHT 2003 ACS A review with 3 refs. It has been found that arylamides of (iso)nicotinic AB acids possess analgetic activity. The structure of these arylamides resembles that of serotonin and such a similarity is useful to ascertain their structure-activity relationship. AN

1999:474097 CAPLUS

DN 131:237346

TI Possibilities for search for new analgesics in the series of arylamides of

isonicotinic and nicotinic acids

- AU Bukhtiarova, T. A.; Trinus, F. P.; Danilenko, V. P.; Danilenko, G. I.; Ovruts'kii, V. M.
- CS Inst. Farmakol. Toksikol, AMN Ukr., Kiev, Ukraine
- SO Dopovidi Natsional'noi Akademii Nauk Ukraini (1998), (8), 162-164 CODEN: DNAUFL; ISSN: 1025-6415
- PB Prezidiya Natsional'noi Akademii Nauk Ukraini
- DT Journal; General Review
- LA Russian/Ukrainian
- IT 65101-44-2

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(search for new analgesics: arylamides of isonicotinic and nicotinic acids)

- RN 65101-44-2 CAPLUS
- CN Benzoic acid, 3-[[(1-oxido-3-pyridinyl)carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

L8 ANSWER 15 OF 39 CAPLUS COPYRIGHT 2003 ACS

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [one of X, X1 = H, halo, lower alkyl and the other = (un) substituted group X6, X7, X10; R1 = H, lower alkyl; n = 0, 1; Het = 5-6 membered heteroarom. ring contg. 1-3 heteroatoms N, O, S, or 9-10 membered bicyclic heteroarom. ring contg. 1-4 heteroatoms N, O, S; R19 = (un) substituted lower alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl; R18 = H, any group R19; R20 = (un)substituted lower alkyl, aroyl, lower alkanoyl; Y = CR22R23R24, 3-7 membered ring Y2; R22, R23 = (un)substituted aryl, heteroaryl, lower alkyl; R24 = H, CN, (un) substituted aryl, lower alkyl, with provisos; R25 = lower alkyl, F-(un)substituted lower alkenyl, R26(CH2)m; R26 = aryl, heteroaryl, N3, CN, OH, NO2, amino, lower alkoxy, lower alkoxycarbonyl, lower alkanoyl, lower alkylthio, lower alkylsulfonyl, lower alkylsulfinyl, etc.; Q = bond, (CH2)pO, (CH2)pS, (CH2)p; m = 0-4; p = 0-3; Z = H, lower alkyl] and pharmaceutically acceptable salts and esters thereof, are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing integrin VLA-4. Such compds. are useful for treating diseases whose symptoms and /or damage are related to the binding of VCAM-1 to cells expressing VLA-4. Thus, amidation of 4-amino-N-[(1-phenylcyclopentyl)carbonyl]-Lphenylalanine Me ester (prepn. given) with 4-quinolinecarboxylic acid and

```
sapon. gave desired title deriv. II as its sodium salt. II inhibited
      VLA-4 binding to immobilized VCAM-1 with IC50 = 2.7 nM in solid-phase dual
      antibody assay.
AN
      1999:166589 CAPLUS
DN
      130:209978
      Preparation of N-aroylphenylalanine derivatives as vascular cell adhesion
TΙ
      molecule-1 (VCAM-1) binding inhibitors
      Chen, Li; Guthrie, Robert William; Huang, Tai-Nang; Hull, Kenneth G.;
IN
      Sidduri, Achytharao; Tilley, Jefferson Wright
PA
      F.Hoffmann-La Roche A.-G., Switz.
      PCT Int. Appl., 215 pp.
so
      CODEN: PIXXD2
DТ
      Patent
LA
      English
FAN.CNT 3
      PATENT NO.
                           KIND DATE
                                                     APPLICATION NO. DATE
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PΙ
      WO 9910313
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                                  19990304
                                                     WO 1998-EP5144
                                                                          19980813
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RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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                                                     AU 1998-93419
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                            A1
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                                                     EP 1998-946326
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      BR 9811988
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                                                                          19980813
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                                                     JP 2000-507644
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                                                                          19980813
      ZA 9807602
                            A
                                  19990504
                                                     ZA 1998-7602
                                                                          19980821
      US 6455550
                            В1
                                  20020924
                                                     US 1998-138353
                                                                          19980821
      US 2003109459
                            A1
                                  20030612 -
                                                     US 2002-117616
                                                                          20020405
PRAI US 1997-56929P
                            Р
                                  19970822
      US 1998-94591P
                            Ρ
                                  19980729
      WO 1998-EP5144
                            W
                                  19980813
      US 1998-138353
                            B3
                                  19980821
OS
      MARPAT 130:209978
IT
      220876-32-4P
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological
      study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
      BIOL (Biological study); PREP (Preparation); USES (Uses)
          (prepn. of N-aroylphenylalanine derivs. as vascular cell adhesion
         mol.-1 (VCAM-1) binding inhibitors)
RN
      220876-32-4 CAPLUS
      L-Phenylalanine, 4-[[(1-oxido-3-pyridinyl)carbonyl]amino]-N-[(1-
CN
      phenylcyclopentyl)carbonyl]-, monosodium salt (9CI) (CA INDEX NAME)
```

Absolute stereochemistry.

Na

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 16 OF 39 CAPLUS COPYRIGHT 2003 ACS

AB Forty two aryl amides of isonicotinic and nicotinic acids were synthesized and tested for anti-inflammatory activity in the rat model of edema. Structure-activity relationships and QSAR are discussed.

AN 1998:161899 CAPLUS

DN 128:289722

TI Structure and anti-inflammatory activity of aryl amides of isonicotinic and nicotinic acids

AU Bukhtiarova, T. A.; Trinus, F. P.; Danilenko, V. F.; Danilenko, G. I.; Ovrutskii, V. M.; Sharykina, N. I.

CS Inst. Farmakol. i Toksikol., AMN Ukrainy, Kiev, Ukraine

SO Khimiko-Farmatsevticheskii Zhurnal (1997), 31(11), 30-32 CODEN: KHFZAN; ISSN: 0023-1134

PB Izdatel'stvo Folium

DT Journal

LA Russian

IT 65101-44-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(structure and anti-inflammatory activity of aryl amides of isonicotinic and nicotinic acids)

RN 65101-44-2 CAPLUS

L8 ANSWER 17 OF 39 CAPLUS COPYRIGHT 2003 ACS

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE				
ΡI	JP 63002978	A2	19880107	JP 1986-145583	19860620				
	JP 07042272	B4	19950510						
PRAI	JP 1986-145583		19860620						
os	CASREACT 109:149	9360; M	ARPAT 109:14936	50					
IT	116368-17-3P								
	RL: AGR (Agricul	ltural	use); BAC (Bio]	logical activity o	r effector, except				
				lassified); SPN (S					
	preparation): Bl	OL (Bi	ological study)	; PREP (Preparati	on): USES (Uses)				
			t growth inhibi						
RN	116368-17-3 CA	PLUS							
CN	3-Pyridinecarbox	cylic a	cid, 4 -butyl-5-	-[[(2,6-diethylphe]]]	nyl)amino]carbonyl]-				
	CN 3-Pyridinecarboxylic acid, 4-butyl-5-[[(2,6-diethylphenyl)amino]carbonyl]-2,6-dimethyl-, methyl ester, 1-oxide (9CI) (CA INDEX NAME)								

L8 ANSWER 23 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

$$R^{10}$$
 R^{10} R^{10} R^{2} R

AB Herbicidal compns. contg. pyridine derivs. I [R1 = alkyl, alkenyl, alkynyl, haloalkyl, alkoxyalkyl, alkylthioalkyl, alkoxycarbonylalkyl, cycloalkyl, (substituted) aralkyl, (substituted) aryl, 5- or 6-membered heterocyclyl; R2, R3 = halo-, alkoxy-, or cycloalkyl, (substituted) aralkyl, (substituted) aryl; n = 0, 1; when n = 0, R4 = H, and when n = 1, R4 = H, halo, alkyl, (substituted) aralkyl, (substituted) aryl; R3R4 = (CH2)m; m = 3, 4; A = H, halo, cyano, NO2, NH2, alkyl, haloalkyl, OH, alkoxy, aryloxy, CO2H, alkoxycarbonyl; l = 1-5; Z = N, NO] and at least one of (1) 2-chloro-4-ethylamino-6-isopropylamino-1,3,5-triazine, (2) 2-(1-cyano-1-methylethylamino)-4-ethylamino-6-chloro-1,3,5-triazine(II), (3) 2-chloro-4,6-bis(ethylamino)-1,3,5-triazine, (4) 2-chloro-2',6'diethyl-N-methoxymethylacetanilide, (5) 2-ethyl-6-methyl-N-(3-methoxy-2propyl)chloroacetanilide, (6) Et N-chloroacetyl-N-(2,6diethylphenyl)glycinate, (7) 3-(3,4-dichlorophenyl)-1,1-dimethylurea(III), and (8) 3-(3,4-dichlorophenyl)-1-methoxy-1-methylurea, particularly useful for corn, are described. A mixt. contg. 10 g/are I (R1 = Bu, R2 = R3 = Me, R4 = H, A1 = 2,3-di-Me, n = 0, Z = N) (II) and 10 g II/are, applied

Me, R4 = H, Al = 2,6-di-Et, n = 0, Z = N) and 7.5 g II/are, applied post-emergence, showed 100% control of Echinochloa crus-galli, Setaria viridis, and Portulaca oleracea, and no damage on cotton, whereas the components by themselves were less effective. A wettable powder was formulated contg. I (R1 = Bu, R2 = R3 = Me, R4 = H, A1 = 2,6-di-Et, Z = NO, n = 0) 20, III 20, talc 40, bentonite 15, Sorpol-9047 2, and Sorpol-5039 3 wt. parts.

AN 1988:468851 CAPLUS

109:68851 DN

Wide-spectrum synergistic herbicidal binary compositions containing TIN-phenylpyridine-3-carboxamide derivatives, for cotton

Yaqihara, Hiromu; Morishima, Yasuo; Osabe, Hirokazu; Ueda, Yoichiro; Goto, IN Yukihisa; Masamoto, Kazuhisa; Hirako, Yoshiyuki

PΑ Daicel Chemical Industries, Ltd., Japan

Jpn. Kokai Tokkyo Koho, 13 pp. SO CODEN: JKXXAF

DTPatent

Japanese LA

FAN.CNT 1

APPLICATION NO. PATENT NO. KIND DATE DATE ____ -----JP 1986-159729 19860709 PΤ JP 63017812 Α2 19880125 19860709

PRAI JP 1986-159729

MARPAT 109:68851 os

IT 110727-39-4P

> RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, as component for wide-spectrum synergistic herbicidal binary compns.)

110727-39-4 CAPLUS RN

3-Pyridinecarboxamide, 2,6-dimethyl-N-phenyl-4-propoxy-, 1-oxide (9CI) CN (CA INDEX NAME)

1.8 GI ANSWER 26 OF 39 . CAPLUS COPYRIGHT 2003 ACS

$$R^{10}$$
 NH $A1$ R^{3} Z R^{2} I

AB Herbicide compns. contg. pyridine derivs. I [R1 = alkyl, alkenyl, alkynyl,

Print selected from Online session14:31Page 37

AN

DN

TI

IN

PA

SO

 \mathbf{DT}

LΑ

os

IT

RN

CN

```
haloalkyl, alkoxyalkyl, alkylthioalkyl, alkoxycarbonylalkyl, cycloalkyl,
     aralkyl, (substituted) aryl, 5- or 6-membered heterocyclyl; R2, R3 =
     alkyl, haloalkyl, alkoxyalkyl, cycloalkyl, (substituted) aralkyl,
     (substituted) aryl; n = 0, 1; when n = 0, R4 = H; when n = 1, R4 = H,
     halo, alkyl, (substituted) aralkyl, (substituted) aryl; R3R4 = (CH2)m; m =
     3, 4; A = H, halo, cyano, NO2, NH3, alkyl, haloalkyl, OH, alkoxy, aryloxy,
     CO2H, alkoxycarbonyl; l = 1-5; Z = N, N:O] and at least one of
     2-chloro-2',6'-diethyl-N-(butoxymethyl)acetanilide; 2-chloro-2',6'-diethyl-
     N-(propoxyethyl)acetanilide; 2-chloro-N-(2,6-diethylphenyl)-N-[3-
     methoxythiophen-2-yl)methyl]acetamide; 2-benzothiazol-2-yloxy-N-
     methylacetanilide; S-4-chlorobenzyl diethylthiocarbamate;
     S-ethylhexahydro[1H]azepine-1-carbothioate; S-(.alpha.,.alpha.-
     dimethylbenzyl)-1-piperidinecarbothioate; 4-(2,4-dichlorobenzoyl)-1,3-
     dimethyl[1H]pyrazol-5-yl p-toluenesulfonate; 4-(2,4-dichlorobenzoyl)-1,3-
     dimethyl-5-phenacyloxypyrazole; 4-(2,4-dichloro-3-methylbenzoyl)-1,3-
     dimethyl-5-(p-methylphenacyl)oxypyrazole; 2-(.beta.-
     naphthyloxy)propionanilide; 2-(2,4-dichloro-3-
     methylphenoxy) propionanilide; 3,7-dichloro-8-quinolinecarboxylic acid;
     N-(.alpha.,.alpha.-dimethylbenzyl)-.alpha.-bromo-tert-butylacetamide; and
     1-(.alpha.,.alpha.-dimethylbenzyl)-3-(4-methylphenyl)urea, particularly
     useful for rice, are described. A mixt. of 2.5 (no units given) I (R1 = Pr; R2 = R3 = Me; R4 = H, n = 0; Al = 2,6-di-Et) and 2.5
     2-chloro-N-(2,6-diethylphenyl)-N-[(3-methoxythiophen-2-yl)methyl]acetamide
     showed 100% control of Echinochloa oryzicola and other weeds, whereas the
     components by themselves were less effective. Granules were formulated
     contg. I (R1 = Bu; R2 = R3 = Me; R4 = H, n = 0; Al = 2,6-di-Et) 3,
     N-(.alpha.,.alpha.-dimethylbenzyl)-.alpha.-bromo-tert-butylacetamide 4,
     talc 60, bentonite 30, and ligninsulfonate 3 wt. parts.
     1988:468849 CAPLUS
     109:68849
     Wide-spectrum synergistic herbicidal binary compositions containing
     N-phenylpyridine-3-carboxamide derivatives, for rice
     Yagihara, Hiromu; Morishima, Yasuo; Osabe, Hirokazu; Ueda, Yoichiro; Goto,
     Yukihisa; Masamoto, Kazuhisa; Hirako, Yoshiyuki
     Daicel Chemical Industries, Ltd., Japan
     Jpn. Kokai Tokkyo Koho, 16 pp.
     CODEN: JKXXAF
     Patent
     Japanese
FAN.CNT 1
     PATENT NO.
                    KIND DATE
                                          APPLICATION NO. DATE
     -----
                                           -----
                      A2
     JP 63005005
                            19880111
                                           JP 1986-150520 19860626
PRAI JP 1986-150520
                            19860626
     MARPAT 109:68849
     110727-39-4P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of, as component of synergistic herbicidal binary compns., for
        rice)
     110727-39-4 CAPLUS
     3-Pyridinecarboxamide, 2,6-dimethyl-N-phenyl-4-propoxy-, 1-oxide (9CI)
     (CA INDEX NAME)
```

ANSWER 27 OF 39 CAPLUS COPYRIGHT 2003 ACS L8 GI

The title compds. [I; R1 = alkyl, alkenyl, alkynyl, aralkyl, etc.; R2 = AB (substituted) aryl; R3, R4 = alkyl, aralkyl, haloalkyl, cycloalkyl, etc.; R5 = H, halo, alkyl, (substituted) phenyl; R4R5 form a ring with (CH2)n (n = 3, 4)], their oxides and salts, useful as plant growth inhibitors, are prepd. Dihydrooxopyridinecarboxanilide II was heated with BuBr and K2CO3 in DMF at 90.degree. for 2 h to give 82% I (R1 = Bu, R2 = 2,6-Et2C6H3, R3 = R4 = Me, R5 = H). The latter inhibited the growth of Oryza sativa by 75% at 20 ppm.

ΑN 1987:575886 CAPLUS

107:175886 DN

(4-Alkoxypyridin-3-yl)carboxanilides as plant growth inhibitors ΤI

Ueda, Yoichiro; Goto, Yukihisa; Masamoto, Kazuhisa; Hirako, Yoshiyuki; IN Yagihara, Hiroshi; Morishima, Yasuo; Osabe, Hirokazu

Daicel Chemical Industries, Ltd., Japan PA

Fr. Demande, 62 pp. SO

CODEN: FRXXBL

Patent DT

French LA

FAN.	CN'I	1				
	PA.	TENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR	2576306	A1	19860725	FR 1986-650	19860117
	FR	2576306	B1	19891208		
	JP	62149663	A2	19870703	JP 1985-284744	19851217
	JΡ	07010846	B4	19950208		
	US	4730051	Α	19880308	US 1986-819144	19860115
	GB	2171097	A1	19860820	GB 1986-1034	19860116
	GB	2171097	B2	19871216		
	DE	3601121	A1	19860821	DE 1986-3601121	19860116
PRAI	JΡ	1985-7665		19850118		
	JР	1985-171673		19850802		
	JР	1985-211821		19850925		

OS CASREACT 107:175886

IT 110727-39-4P

RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of, as plant growth inhibitor)

RN 110727-39-4 CAPLUS

CN 3-Pyridinecarboxamide, 2,6-dimethyl-N-phenyl-4-propoxy-, 1-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 28 OF 39 CAPLUS COPYRIGHT 2003 ACS

AB FeLX (H2L = meso-.alpha.,.alpha.,.alpha.,.alpha.-tetrakis(onicotinamidophenyl)porphyrin, X = Cl, Br, OH, N3) were prepd. and characterized. FeLCl.CHCl3.H2O is monoclinic, space group p21/c, with a 14.739(6), b 21.924(7), c 19.524(6) .ANG., .beta. 101.03(3).degree., z = 4, V = 6192.4 .ANG.3, 5042 unique reflections, and R = 0.104. The structure consists of polymeric chains, with the Fe atom of 1 mol. coordinated to a pyridine N of the nicotinamide unit of a 2nd mol. Cl- occupies the 6th coordination site, inside the pocket of the 4 nicotinamide groups. The Fe is displaced 0.109(1) .ANG. from the mean plane of the porphyrin toward the Cl-. Long Fe-Cl (2.31(2) .ANG.) and Fe-N(py) (2.085(6 .ANG.) distances and an av. Fe-N(porphyrin) distance of 2.042(8) .ANG. indicate an essentially high-spin Fe, which is accommodated by an S4 ruffling of the porphyrin. Magnetic susceptibility, ESR, and Moessbauer data on solid samples and electronic, ESR and NMR data on solns. were interpreted.

AN 1984:78821 CAPLUS

DN 100:78821

Unusual structural, chemical, and magnetic properties of mononuclear iron(III) complexes of the potentially binucleating ligand meso-.alpha.,.alpha.,.alpha.,.alpha.-tetrakis(o-nicotinamidophenyl)porphyrin

AU Gunter, Maxwell J.; McLaughlin, George M.; Berry, Kevin J.; Murray, Keith S.; Irving, Mark; Clark, Paul E.

CS Res. Sch. Chem., Aust. Natl. Univ., Canberra, 2600, Australia

Inorganic Chemistry (1984), 23(3), 283-300 CODEN: INOCAJ; ISSN: 0020-1669

DT Journal

SO

LA English

IT 88035-71-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 88035-71-6 CAPLUS

CN Iron, .mu.-oxobis[[N,N',N'',N'''-(21H,23H-porphine-5,10,15,20-tetrayltetra-2,1-phenylene)tetrakis[3-pyridinecarboxamide] 1,1',1'',1'''-tetraoxidato](2-)-N21,N22,N23,N24]di-, stereoisomer (9CI) (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L8 ANSWER 29 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

AB Ninety-one penicillin derivs. (I; R = alkyl, alkenyl, aryl, aralkyl, heterocycle, etc.; R1 = H, HO), effective bactericides at 0.1-12.5 mg/.mu.L, were prepd. Thus, 2 mmol ClCO2CH2CHMe2 was added to a soln. of 2 mmol II and 2 mmol Et3N in DMF at -30.degree. to -20.degree. to give a mixed anhydride, which was treated with 2.4 mmol ampicillin trihydrate and 3 mmol Et3N in aq. DMF to give 700 mg I.Na (R = EtSCH2CH2).

AN 1984:68067 CAPLUS

DN 100:68067

TI Penicillin derivatives

PA Banyu Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 28 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 58131987	A2	19830806	JP 1982-14297	19820202
PRAI	JP 1982-14297		19820202		

IT 83644-25-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. and antibacterial activity of)

RN 83644-25-1 CAPLUS

CN 4-Thia-1-azabicyclo[3.2.0]heptane-2-carboxylic acid, 3,3-dimethyl-6-[[[[[1-oxido-5-[(phenylamino)carbonyl]-2-pyridinyl]carbonyl]amino]phenylacetyl]amino]-7-oxo-, monosodium salt, [2S-[2.alpha.,5.alpha.,6.beta.(S*)]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Na

L8 ANSWER 30 OF 39 CAPLUS COPYRIGHT 2003 ACS

AB Amides I (Z = CO, SO2; R = alkyl, Ph, pyridyl, N-oxidopyridyl, pyrazinyl, thienyl), which showed antihistaminic and anti-ulcer activity, were prepd. from benzoate esters. Thus, 3-AcNHC6H4CO2C6H4NO2-4 reacted with 2-aminoethyl 5-[(dimethylamino)methyl] furfuryl sulfide at 40.degree. to give I (R = Me, Z = CO).

Ι

AN 1983:438231 CAPLUS

DN 99:38231

TI Aminobenzamides, their salts and pharmaceutical compositions containing them

IN Nisato, Dino; Boveri, Sergio; Bianchetti, Alberto; Roncucci, Romeo; Carminati, Paolo

PA Sanofi, Fr.

SO Eur. Pat. Appl., 27 pp. CODEN: EPXXDW

DT Patent .

LA French

FAN.CNT 1

1111.	-11 1	_												
	PAT	CENT 1	10.		KINI	DATE]		API	PLICAT	rion n	ю.	DATE	
PI	EP	69664	Ł		A1	1983	0112		EP	1982	-40125	2	19820	705
	ΕP	69664	Į.		B1	1985	0403							
		R:	AT,	BE,	CH, I	E, FR,	GB,	IT,	LI, I	LU, NI	J, SE			
	FR	25093	305		A1	1983	0114		FR	1981-	-13420)	19810	708
	FR	25093	305		B1	1986	0418							
	FR	25151	181		A 1	1983	0429		FR	1981-	-19967	,	19811	023
	FR	25153	.81		B1	1984	0406							
	FR	25180	97		A1	1983	0617		FR	1981-	-23084	:	19811	210
	FR	25180	97		B1	1984	0629							
	AU	82851	.34		A1	1983	0113		AU	1982-	-85134	:	19820	623

	AU 547405	B2	19851017				
	NO 8202122	À	19830110	NO	1982-2122	19820624	
	ZA 8204593	Α	19830427	ZA	1982-4593	19820628	
	AT 12496	E	19850415	AΤ	1982-401252	19820705	
	IL 66227	A1	19850731	IL	1982-66227	19820705	
	CS 229935	P	19840716	CS	1982-5164	19820706	
	FI 8202408	A	19830109	FI	1982-2408	19820707	
	DK 8203059	A	19830109	DK	1982-3059	19820707	
	ES 513792	A1	19830816		1982-513792	19820707	
	DD 202433	A5	19830914	DD	1982-241472	19820707	
	US 4439444	A	19840327	US	1982-396100	19820707	
	HU 30700	0	19840328	HU	1982-2215	19820707	
	HU 189599	В	19860728				
	CA 1190927	A1	19850723		1982-406813	19820707	
	JP 58015967	A2	19830129	JP	1982-117880	19820708	
PRAI	FR 1981-13420		19810708				
	FR 1981-19967		19811023				
	FR 1981-23084		19811210				
	EP 1982-401252		19820705				
os	CASREACT 99:38231						
IT	62833-95-8						
	RL: RCT (Reactant); RA	CT (Reactant or	re	agent)		
	(amidation of,	by a	minoethyl furfu	ryl	sulfide deriv	.)	
RN	62833-95-8 CAPLU						
CN	Benzoic acid, 3-[NAME)	[(1-0	xido-3-pyridiny	1)c	arbonyl]amino]	- (9CI) ((CA INDEX
	<i></i> ,						

L8 ANSWER 31 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

Reaction of the appropriate adamantylanilines with pyridinecarbonyl chlorides gave I and II (R = Cl, OH, CH2OH, CO2Me) (8 compds.). The

prepn. of the adamantylanilines was described.

AN 1983:16550 CAPLUS

DN 98:16550

TI Synthesis of N-adamantyl-substituted amides of the N-oxides of nicotinic and isonicotinic acid

AU Dovgan, N. L.; Zosim, L. A.; Rutkovskii, E. K.

CS USSR

Vestnik Kievskogo Politekhnicheskogo Instituta, Khimicheskoe Mashinostroenie i Tekhnologiya (1982), 19, 9-15 CODEN: VKMTAC; ISSN: 0372-6045

DT Journal

LA Russian

OS CASREACT 98:16550

IT 84021-05-6P

RN 84021-05-6 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-(3-hydroxytricyclo[3.3.1.13,7]dec-1-yl)phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

L8 ANSWER 32 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

AB Substituted pyridinecarboxylic acid anilides and their N-oxides I (R1 and R2 = H, halo, or alkyl; n = 0 or 1) are acaricides for control of plant pest mites. Thus, spraying apple trees with 10% N-(4-chlorophenyl)-3-pyridine carboxamide [14547-72-9] controlled Panenchus ulmi by 94.1%. Synthesis was given.

AN 1983:1684 CAPLUS

DN 98:1684

TI Acaricide compositions

IN Lettau, Herbert; Mueller, Joachim; Bergmann, Ingrid; Schubert, Hermann; Seewald, Ingrid; Weiser, Hannelore

PA Ger. Dem. Rep.

```
ANSWER 39 OF 39 CAPLUS COPYRIGHT 2003 ACS
L8
    For diagram(s), see printed CA Issue.
GI
    Benzoylpyridine oximes and their N-oxides were synthesized and their
AB
     syn-phenyl (I and II) and anti phenyl (III and IV) isomers were
     characterized from the Beckmann reaction. The following compds. were
     identified: [pyridyl attachment, m.p. I and III, and m.p. (decompn.) II
     and IV given]: 2, 151-2.5.degree., 163-4.5.degree., -, 219-22.degree.; 3,
     141-3.degree., 162-3.degree., 222-4.degree., 178-80.degree.; 4,
     152-5.degree., 186-8.degree., 229-30.degree., 222-3.degree..
     1967:85680 CAPLUS
AN
     66:85680
DN
     Beckmann rearrangement of benzoylpyridine oximes and their N-oxides
ΤI
     Kato, Tetsuzo; Goto, Yoshinobu; Chiba, Takuo
ΑU
     Tohoku Univ., Sendai, Japan
CS
     Yakugaku Zasshi (1966), 86(11), 1022-6
SO
     CODEN: YKKZAJ; ISSN: 0031-6903
     Journal
DT
     Japanese
LA
     14178-43-9P
IT
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (prepn. of)
     14178-43-9 CAPLUS
RN
     3-Pyridinecarboxamide, N-phenyl-, 1-oxide (9CI) (CA INDEX NAME)
CN
```

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 229 TO 851

PROJECTED ANSWERS: 80 TO 560

Ь6 16 SEA SSS SAM L5

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FULL SEARCH INITIATED 14:28:32 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 586 TO ITERATE

100.0% PROCESSED 586 ITERATIONS 340 ANSWERS

SEARCH TIME: 00.00.01

L7 340 SEA SSS FUL L5

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COST IN U.S. DOLLARS SINCE FILE TOTAL

ENTRY SESSION FULL ESTIMATED COST 296.93 148.15

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FILE COVERS 1907 - 18 Jul 2003 VOL 139 ISS 4 FILE LAST UPDATED: 17 Jul 2003 (20030717/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 17

39 L7

=> d abs bib fhitstr 1-39

ANSWER 1 OF 39 CAPLUS COPYRIGHT 2003 ACS L8 Compds. R3-Z-L1-aryl [aryl is a benzene ring having certain substituents R1, R2, R4; L1 is L4-NR5-L5, L4-O-L5, L4-S(O)m-L5, etc., where L4 and L5 are absent or alk(en)ylene, R5 is H, alkanoyl, alkoxy, alkoxyalkyl, etc.; m = 0-2; Z is a covalent bond, O, S(O)m, an imino group; R3 = (un) substituted pyridyl or imidazolyl; or L1, Z, and R3 together are aminoalkyl, haloalkyl, halo, carboxaldehyde, (carboxaldehyde)alkyl, or hydroxyalkyl (R1 .noteq. H) or L1, Z, R3, and R4 together are an (un) substituted pyrrolidinone ring] were prepd. as inhibitors of protein isoprenyl transferases. Thus, N-[4-(3-pyridylcarbonylamino)-2-

phenylbenzoyl]methionine hydrochloride, prepd. via amidation reaction, showed 93% inhibition of farnesyl transferase at 1x10-5 M.

AN 2002:965163 CAPLUS

DN 138:39539

TI Preparation of amino acid derivatives as inhibitors of protein isoprenyl transferases

IN Sebti, Said M.; Hamilton, Andrew D.; Augeri, David J.; Barr, Kenneth J.; Donner, Greg B.; Fakhoury, Stephen A.; O'Connor, Stephen J.; Rosenberg, Saul H.; Shen, Wang; Szczepankiewicz, Bruce G.; Gunawardana, Indrani W.

PA University of Pittsburgh, USA

SO U.S. Pat. Appl. Publ., 499 pp., Cont.-in-part of U.S. Ser. No. 852,858, abandoned.

CODEN: USXXCO

DT Patent

LA English

FAN. CNT 8

P.	ATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-					
PI U	S 2002193596	A1	20021219	US 2001-984411	20011030
PRAI U	S 1995-7247P	P	19951106		
U	S 1996-740909	B2	19961105		
U	S 1997-852858	B2	19970507		

OS MARPAT 138:39539

IT 478907-95-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of amino acid derivs. as inhibitors of protein isoprenyl transferases)

RN 478907-95-8 CAPLUS

CN Butanoic acid, 4-(methylsulfonyl)-2-[[[5-[[(1-oxido-3-pyridinyl)carbonyl]amino][1,1'-biphenyl]-2-yl]carbonyl]amino]-, (2S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 2 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

The invention provides chroman derivs. I (R1 = H, C1-6 alkyl, etc.; R2 = H, C1-6 alkyl, etc.; R3, R4, R5, R6 = H, C1-6 alkyl, etc.; X = single bond, CO, C:NOR7, etc.; R7, R8 = H, C1-6 alkyl, C2-6 alkenyl, etc.; A = CO, SO2; U = CH2, etc.; Y = O, S; Q = H, nitro, OH, etc.; k = 1-6; m, n = 0-8; Ar1 = benzene ring, etc.; Ar2 = benzene ring, etc.) as antitumor agents. The antitumor effect of N-[2-[4-(6-acetoxy-4-oxo-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]ethyl]-nicotinamide in SK-N-MC and D283-Med cells was examd. Also, a capsule contg. N-[4-(6-acetoxy-2,5,7,8-tetramethylchroman-2-ylmethoxy)phenyl]-nicotinamide 100 mg was prepd.

Ι

AN 2002:728847 CAPLUS

DN 137:257628

TI Antitumor agents containing novel chroman derivatives

IN Fujita, Takashi; Wada, Kunio; Oguchi, Minoru; Kurakata, Shinichi

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 101 pp. CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND DATE		APPLICATION NO.	DATE	
ΡI	JP 2002275064	A2	20020925	JP 2002-5560	20020115	
PRAI	JP 2001-6574	Α	20010115		_	
os	MARPAT 137:257628	3				

IT 321919-51-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(chroman derivs. as antitumor agents)

RN 321919-51-1 CAPLUS

CN 3-Pyridinecarboxamide, N-[4-[[6-(acetyloxy)-3,4-dihydro-2,5,7,8-tetramethyl-2H-1-benzopyran-2-yl]methoxy]phenyl]-, 1-oxide (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{O} \\ \text{AcO} \\ \text{Me} \\ \end{array}$$

L8 ANSWER 3 OF 39 CAPLUS COPYRIGHT 2003 ACS

Title compds. I, their optical isomers, diastereomers, enantiomers and AB pharmaceutically acceptable salts [wherein: R1 = R5, R5-heteroalkylene; R5 = H, halo, alkyl, heteroalkyl, etc.; R2, R3 = H, alkyl, heteroalkyl, aryl, etc.; R4 = H, halo, alkyl, heteroalkyl, etc.] were claimed. For example, hydrogen peroxide mediated N-oxidn. of 2-chloro-N-(4-fluorophenyl)-6methylnicotinamide provided claimed oxynicotinamide II in 10% yield. Nicotinanilide N-oxides I are disclosed to inhibit chemokine-mediated cellular and inflammation events. Specific binding of 95 claimed examples to human interleukin 8 and human growth-regulatory oncogene-.alpha. (GRO-.alpha.) chemokine were reported as < or > 40% at 20 .mu.M ligand concn., e.g., compd. II > 40% for GRO-.alpha., were disclosed. Also, the specific binding of 9 claimed examples to human chemokine CCR5, human interleukin-CXCR1, human interleukin-CXCR2, human neuropeptide Y1 and somatostatin, e.g., compd. II: < 40% for CCR5, somatostatin; > 40% for CXCR1, CXCR2; no data for NYP1, were disclosed. A method for the identification of nicotinanilide-N-oxides. I receptors from cell or cellular components and the isolation of compds. I which bind to TNF-.alpha. signaling proteins via affinity bead chromatog. and surface plasmon resonance (SPR) are claimed (no data).

AN 2002:521710 CAPLUS

DN 137:93690

TI Preparation of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist for the treatment of inflammation due to neutrophil chemotaxis IN Cutshall, Neil S.; Yager, Kraig M.

PA Darwin Discovery Ltd., UK

SO PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
                        KIND
                              DATE
                                               APPLICATION NO.
                                                                  DATE
                        _ _ _ _
                              -----
                                               -----
ΡI
     WO 2002053544
                        A1
                              20020711
                                               WO 2001-US47543
                                                                  20011212
             AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
              CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
              GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
              LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
              PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
         UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
              CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
```

BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG US 2003004189 A1 20030102 US 2001-15861 20011212

PRAI US 2000-258730P P 20001229

OS MARPAT 137:93690

IT 364078-34-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; prepn. of nicotinanilide-N-oxides as G-protein-coupled receptor antagonist)

RN 364078-34-2 CAPLUS

CN 3-Pyridinecarboxamide, N-(4-fluorophenyl)-6-(methylsulfonyl)-, 1-oxide (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 39 CAPLUS COPYRIGHT 2003 ACS

Title compds. [I; X, Ra = H, (unsatd.) aliphatyl, AY; A = CO, SO2, CONRa, CONRaSO2; T = H, halo, NO2, cyano, (unsatd.) (halogenated) aliphatyl optionally interrupted by O and/or S; Y = org. substituent; with provisos], and des-nitroso compds. (II; variables as above), were prepd. Thus, a mixt. of nicotinoyl chloride hydrochloride, 4-amino-4'-methoxy-N-tert-butoxycarbonyldiphenylamine, and Et3N was stirred in CH2Cl2 to give 100% 4-nicotinoylamino deriv. which was N-deprotected with CF3CO2H to give 95.2% 4-methoxy-4'-nicotinoylaminodiphenylamine. The latter in HOAc was treated dropwise with aq. NaNO2 to give 88% N-nitroso-4-methoxy-4'-nicotinoylaminodiphenylamine. Tested II inhibited oxidn. of human low mol. wt. lipoproteins by Cu2+ with IC50 = 1.7-13.4 .mu.M.

AN 2002:275953 CAPLUS

DN 136:309851

TI Preparation of diphenylamines and N-nitrosodiphenylamines for treatment of oxidative stress and unavailability of endothelial nitric oxide.

IN Lardy, Claude; Nioche, Jean-Yves; Caputo, Lidia; Decerprit, Jacques; Ortholand, Jean-Yves; Festal, Didier; Guerrier, Daniel

PA Merck Patent G.m.b.H., Germany

SO PCT Int. Appl., 142 pp.

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CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                       KIND DATE
                                             APPLICATION NO. DATE
                       ____
                             -----
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                                                               -------
PΙ
     WO 2002028820
                       A1
                             20020411
                                            WO 2001-EP10761 20010918
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT,
             RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,
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             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     FR 2815030
                        A1
                             20020412
                                            FR 2000-12749
                                                               20001005
     AU 2001089891
                        A5
                             20020415
                                             AU 2001-89891
                                                               20010918
     EP 1322598
                        A1
                             20030702
                                             EP 2001-969732
                                                               20010918
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             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
PRAI FR 2000-12749
                       Α
                             20001005
     WO 2001-EP10761
                        W
                             20010918
OS 1
     MARPAT 136:309851
TΤ
     409351-17-3P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
        (prepn. of diphenylamines and N-nitrosodiphenylamines for treatment of
        oxidative stress and unavailability of endothelial nitric oxide)
RN
     409351-17-3 CAPLUS
     3-Pyridinecarboxamide, N-[4-[(4-methoxyphenyl)nitrosoamino]phenyl]-,
CN
     1-oxide (9CI) (CA INDEX NAME)
```

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 39 CAPLUS COPYRIGHT 2003 ACS

Print selected from Online session14:31Page 10

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AB
     Title compds. (I; R1 = heterocyclyl; R2 = H, alkyl, alkoxy, halo, OH,
     cyano; R3 = H, alkyl, alkoxy, halo, cyano; R4 = H, alkyl, cycloalkyl,
     aryl, heterocyclyl; R5 = H, alkyl, alkoxy, halo, cyano; R6 = H, alkyl,
     alkoxy, halo, cyano; R7, R8 = H, alkyl; R4R8N = heterocyclyl), were prepd.
     Thus, 1,1-dimethyl-3-(4-nitrophenoxy)propylamine (prepn. given) was
     coupled with N-[3-methoxy-4-(5-oxazolyl)phenyl]oxamic acid in the presence
     of 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide and 1-hydroxy-7-
     azabenzotriazole to give N-[3-methoxy-4-(5-oxazoly1)pheny1]-N'-[1,1-
     dimethyl-3-(4-nitrophenoxy)propyl]oxalamide. Tested I inhibited IMPDH
     with IC50 = 0.010-0.277 .mu.M. I can be used for treating immune mediated
     conditions or diseases, viral diseases, bacterial diseases, parasitic
     diseases, inflammation, inflammatory diseases, hyperproliferative vascular
     diseases, tumors, and cancer.
AN
     2001:631913 CAPLUS
     135:195556
DN
TI
     Preparation of azolylphenyl oxamides as inosine monophosphate
     dehydrogenase (IMPDH) inhibitors
IN
     Broadhurst, Michael John; Hill, Christopher Huw; Hurst, David Nigel;
     Jones, Philip Stephen; Kay, Paul Brittain; Kilford, Ian Reginald;
     Mckinnell, Robert Murray
PA
     F. Hoffmann-La Roche A.-G., Switz.
SO
     Eur. Pat. Appl., 256 pp.
     CODEN: EPXXDW
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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_{
m PI}
     EP 1127883
                      A2
                                          EP 2001-103521 20010216
                           20010829
     EP 1127883
                          20020807
                      A3
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
     US 2002052513
                    A1 20020502
                                          US 2001-779116
                                                           20010208
                      AA
     CA 2337588
                           20010824
                                          CA 2001-2337588 20010220
                      A1
     HR 2001000127
                           20011231
                                          HR 2001-127 20010221
     NO 2001000900
                      Α
                           20010827
                                          NO 2001-900
                                                           20010222
                      A
     CN 1310179
                           20010829
                                          CN 2001-104906 20010223
     BR 2001000790
                      Α
                           20010925
                                          BR 2001-790
                                                           20010223
                      A2
     JP 2001261663
                           20010926
                                          JP 2001-51064
                                                          20010226
PRAI GB 2000-4392
                      A
                           20000224
     GB 2000-15877
                      Α
                           20000628
     GB 2000-20322
                      A
                           20000817
OS
     MARPAT 135:195556
IT
     357180-48-4P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of azolylphenyl oxamides as inosine monophosphate dehydrogenase
        (IMPDH) inhibitors)
     357180-48-4 CAPLUS
RN
CN
    Ethanediamide, N-[1,1-dimethyl-2-[4-[[(1-oxido-3-
    pyridinyl) carbonyl] amino] phenyl] ethyl] -N' - [3-methoxy-4-(5-oxazolyl) phenyl] -
      (9CI) (CA INDEX NAME)
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PAGE 2-A

L8 ANSWER 6 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

$$\begin{array}{c} \text{MeO} \\ \\ \text{NH}-\text{CO} \\ \end{array} \begin{array}{c} \text{N} \\ \\ \text{I} \end{array}$$

Print selected from Online session14:31Page 12

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AB
     Title compds. [Ar1CONR11Ar; Ar, Ar1 independently = aryl, heteroaryl with
     less than two nitrogen; R11 = H, alkyl, cycloalkyl, aryl, heteroaryl], or
     a pharmaceutically acceptable salt, or prodrug thereof are prepd. and
     method of treating a disorder responsive to the induction of apoptosis in
     mammal in need of treatment. The present invention relates to the
     discovery that title compds. are activators of caspase and inducers of
     apoptosis. Title compds. of this invention may be used to induce cell
     death in a variety of clin. conditions in which uncontrolled growth and
     spread of abnormal cells occurs. Thus, the title compd. I was prepd. and
     biol. tested for caspase activity with cancer cell lines T47D and ZR75-1,
     for induced nuclear fragmentation and mitotic arrest in Jurkat cells, and
     for cell cycle arrest and apoptosis in solid tumor cell lines.
ΑN
     2001:565011 CAPLUS
DN
     135:137520
     Preparation of benzoylamides, nicotinamides, pyrimidinecarboxamides,
TI
     pyrrolylcarboxamides, and analogs as activators of caspase and inducers of
     apoptosis and the use thereof
     Cai, Sui Xiong; Drewe, John A.
IN
     Cytovia, Inc., USA
PA
SO
     PCT Int. Appl., 90 pp.
     CODEN: PIXXD2
DT
     Patent
LĄ
     English
FAN.CNT 1
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
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                                            -----
PΙ
     WO 2001055115
                      A1
                            20010802
                                           WO 2001-US2478
                                                             20010126
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
             LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
             SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     US 2002010185
                       A1
                           20020124
                                           US 2001-769420
                                                             20010126
     EP 1257536
                       Α1
                           20021120
                                            EP 2001-903311
                                                             20010126
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
     JP 2003520854
                      T2
                            20030708
                                            JP 2001-555057
                                                             20010126
PRAI US 2000-177648P
                       Р
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     WO 2001-US2478
                       W
                            20010126
os
     MARPAT 135:137520
IT
     352228-60-5P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (prepn. of benzamides, nicotinamides, pyrimidinecarboxamides,
        pyrrolylcarboxamides, and analogs as activators of caspase and inducers
        of apoptosis and use thereof)
     352228-60-5 CAPLUS
RN
CN
     3-Pyridinecarboxamide, 6-chloro-N-(4-methoxy-2-nitrophenyl)-, 1-oxide
     (9CI) (CA INDEX NAME)
```

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 7 OF 39 CAPLUS COPYRIGHT 2003 ACS

AB A series of nicotinamide N-oxides, I [R1 = 4-F-, 4-I-, 4-Me3C-, 2-HO-, 4-Me0-C6H4, Ph2CH-, 4-F-C6H4CH2-, cyclohexyl] and II [R2 = Me-, Et-, Me2CH-, Ph-, 4-H02CC6H4-, PhCH2-, cyclopentyl], was synthesized and shown to be novel, potent, and selective antagonists of the CXCR2 receptor. Furthermore, these compds. showed significant functional activity against GRO-.alpha.-driven human neutrophil chemotaxis. Compds. of this class may be useful for the treatment of inflammatory, auto-immune, and allergic disorders.

II

AN 2001:518633 CAPLUS

Ι

- DN 135:272846
- TI Nicotinamide N-Oxides as CXCR2 antagonists
- AU Cutshall, N. S.; Ursino, R.; Kucera, K. A.; Latham, J.; Ihle, N. C.
- CS Department of Chemistry, Celltech R&D, Inc., Bothell, WA, 98021, USA
- SO Bioorganic & Medicinal Chemistry Letters (2001), 11(14), 1951-1954 CODEN: BMCLE8; ISSN: 0960-894X
- PB Elsevier Science Ltd.
- DT Journal
- LA English
- OS CASREACT 135:272846
- IT 364078-26-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (prepn. and anti-inflammatory structure-activity relationships of nicotinamide N-oxides as CXCR2 antagonists)

- RN 364078-26-2 CAPLUS
- CN 3-Pyridinecarboxamide, 6-chloro-N-(4-fluorophenyl)-, 1-oxide (9CI) (CA INDEX NAME)

RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

I

II

L8 ANSWER 8 OF 39 CAPLUS COPYRIGHT 2003 ACS GI

$$R^{5}$$
 R^{2}
 CH_{2}
 P^{2}
 CH_{2}
 P^{2}
 CH_{2}
 P^{2}
 R^{1}
 R^{2}
 CH_{2}
 P^{2}
 R^{1}
 R^{2}
 R^{2}

AB Title coumarone analogs [I; wherein R1 is hydrogen, C1-C6 alkyl; R2 is hydrogen, C1-C6 alkyl; R3, R5 are each independently hydrogen, C1-C6 alkyl; R4, R6 are each independently hydroxy, C1-6 alkyl, NH2, acetoxy, methoxymethoxy; X is a single bond, C=O, C=NOR7; R7 and R8 are each independently hydrogen, C1-C6 alkyl, C2-C6 alkenyl; A is C=O, SO2; U is CH2, or the like; Y is O or S; Q is hydrogen, nitro, hydroxyl; p is an integer of 1 to 6; m and n are each independently an integer of 0 to 8; and Ar1 and Ar2 are each benzene ring or pyridine ring] exhibiting excellent antitumor activities are prepd. and formulation are discussed. Thus, title compd. II was prepd. and tested.

AN 2001:63989 CAPLUS

DN 134:131426